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CLAIMS

1. Use of a benzodiazepine derivative of formula (I), or a pharmaceutically acceptable salt thereof, in the manufacture of a medicament for use in treating or preventing an RSV infection

$$(R^3)_n \xrightarrow{R^2} O \xrightarrow{N-R^5} (I)$$

wherein:

- R¹ represents C₁₋₆ alkyl, aryl or heteroaryl;

- R² represents hydrogen or C₁₋₆ alkyl;

each R³ is the same or different and represents halogen, hydroxy, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, amino, mono(C₁₋₆ alkyl)amino, di(C₁₋₆ alkyl)amino, nitro, cyano, -CO₂R', -CONR'R", -NH-CO-R', -S(O)R', -S(O)₂R', -NH-S(O)₂R', -S(O)NR'R" or -S(O)₂NR'R", wherein each R' and R" is the same or different and represents

15 hydrogen or C_{1-6} alkyl;

- n is from 0 to 3;
- R⁴ represents hydrogen or C₁₋₆ alkyl;
- aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)-, heterocyclyl-(C₁₋₆ alkyl)-, aryl-(C₁₋₆ hydroxyalkyl)-, heteroaryl-(C₁₋₆ hydroxyalkyl)-, carbocyclyl-(C₁₋₆ hydroxyalkyl)-, heterocyclyl-(C₁₋₆ hydroxyalkyl)-, aryl-C(O)-C(O)-, heteroaryl-C(O)-C(O)-, carbocyclyl-C(O)-C(O)-, heterocyclyl-C(O)-C(O)- or -XR⁶;

R⁵ represents C₁₋₆ alkyl, aryl, heteroaryl, carbocyclyl, heterocyclyl,

- X represents -CO-, -S(O)- or -S(O)₂-; and
- R⁶ represents C₁₋₆ alkyl, hydroxy, C₁₋₆ alkoxy, C₁₋₆ alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)-, heterocyclyl-(C₁₋₆ alkyl)-, aryl-(C₁₋₆ alkyl)-

O-, heteroaryl-(C_{1-6} alkyl)-O-, carbocyclyl-(C_{1-6} alkyl)-O-, heterocyclyl-(C_{1-6} alkyl)-O- or -NR'R" wherein each R' and R" is the same or different and represents hydrogen, C_{1-6} alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl-(C_{1-6} alkyl)-, heteroaryl-(C_{1-6} alkyl)-, carbocyclyl-(C_{1-6} alkyl)- or heterocyclyl-(C_{1-6} alkyl)-.

2. Use according to claim 1 wherein:

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- each R³ is the same or different and represents halogen, hydroxy, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, amino, mono(C₁₋₆ alkyl)amino, di(C₁₋₆ alkyl)amino, nitro, cyano, -CO₂R', -CONR'R'', -NH-CO-R', -S(O)R', -S(O)₂R', -NH-S(O)₂R' or -S(O)NR'R'', wherein each R' and R'' is the same or different and represents hydrogen or C₁₋₆ alkyl;
- R⁵ represents C₁₋₆ alkyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)-, heterocyclyl-(C₁₋₆ alkyl)- or -XR⁶;
 - X represents -CO-, -S(O)- or -S(O)₂-; and.
- R⁶ represents C₁₋₆ alkyl, hydroxy, C₁₋₆ alkoxy, C₁₋₆ alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)-, heterocyclyl-(C₁₋₆ alkyl)- or -NR'R" wherein each R' and R" is the same or different and represents hydrogen, C₁₋₆ alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl-(C₁₋₆ alkyl)- or heteroaryl-(C₁₋₆ alkyl)-.
- 25. 3. Use according to either claim 1 or claim 2, wherein \mathbb{R}^1 is \mathbb{C}_{1-2} alkyl or aryl.
 - 4. Use according to any one of the preceding claims wherein R² is hydrogen.
- Use according to any one of the preceding claims wherein R³ is halogen,
 hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio, C₁₋₄ haloalkyl, C₁₋₄
 haloalkoxy, amino, mono(C₁₋₄ alkyl)amino or di(C₁₋₄ alkyl)amino.

6. Use according to claim 5, wherein R³ is fluorine, chlorine, bromine, C₁₋₂ alkyl, C₁₋₂ alkoxy, C₁₋₂ alkylthio, C₁₋₂ haloalkyl, C₁₋₂ haloalkoxy, amino, mono(C₁₋₂ alkyl)amino or di (C₁₋₂ alkyl)amino.

- Use according to any one of the preceding claims wherein R⁴ is hydrogen or
 C₁₋₂ alkyl.
- Use according to any one of the preceding claims wherein R⁵ is C₁₋₆ alkyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C₁₋₄ alkyl)-, heteroaryl-(C₁₋₄ alkyl)-, carbocyclyl-(C₁₋₄ alkyl)-, heterocyclyl-(C₁₋₄ alkyl)-, aryl-C(O)-C(O)-, heteroaryl-C(O)-C(O)- or -XR⁶.
 - 9. Use according to claim 8, wherein R⁵ is C₁₋₄ alkyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, phenyl-(C₁₋₂ alkyl)-, heteroaryl-(C₁₋₂ alkyl)-, phenyl-C(O)-C(O)-, heteroaryl-C(O)-C(O)- or -XR⁶.

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- 10. Use according to claim 9, wherein R⁵ is C₁₋₄ alkyl, phenyl, thienyl, furanyl, isoxazolyl, pyridyl, cyclopentyl, cyclohexyl, benzothienyl, dihydrobenzofuranyl, phenyl-CH₂-, furanyl-CH₂-, phenyl-C(O)-C(O)-, thienyl-C(O)-C(O)- or -XR⁶.
 - Use according to any one of the preceding claims wherein X is -CO- or -S(O)₂-.
- Use according to any one of the preceding claims wherein, when R⁶ is a group -NR'R" wherein each R' and R" is the same or different and represents hydrogen, C₁₋₄ alkyl, aryl, carbocyclyl, heterocyclyl, aryl-(C₁₋₄ alkyl)- or heteroaryl-(C₁₋₄ alkyl)-.
- 30 13. Use according to claim 12, wherein when R⁶ is a group -NR'R" each R' and R" is the same or different and represents hydrogen, C₁₋₄ alkyl, phenyl, thienyl, cyclohexyl, cyclopentyl or phenyl-CH₂-.

14. Use according to claim 13, wherein when R^6 is a group -NR'R'' and one of R' and R'' is hydrogen.

- 5 15. Use according to any one of the preceding claims wherein R⁶ is C₁₋₆ alkyl, hydroxy, C₁₋₆ alkoxy, C₁₋₆ alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C₁₋₄ alkyl)-, heteroaryl-(C₁₋₄ alkyl)-, carbocyclyl-(C₁₋₄ alkyl)-, heteroaryl-(C₁₋₄ hydroxyalkyl)-, heteroaryl-(C₁₋₄ hydroxyalkyl)-, heterocyclyl-(C₁₋₄ hydroxyalkyl)-, heterocyclyl-(C₁₋₄ hydroxyalkyl)-, heterocyclyl-(C₁₋₄ alkyl)-O-, heteroaryl-(C₁₋₄ alkyl)-O-, carbocyclyl-(C₁₋₄ alkyl)-O-, heterocyclyl-(C₁₋₄ alkyl)-O- or -NR⁷R⁷.
- Use according to claim 15, wherein R⁶ is C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, aryl, heteroaryl, carbocyclyl, heterocycly, phenyl-(C₁₋₂ alkyl)-, phenyl-(C₁₋₂ alkyl)-O-, heteroaryl-(C₁₋₂ alkyl)-, phenyl-(C₁₋₂ hydroxyalkyl)-, heteroaryl-(C₁₋₂ hydroxyalkyl)- or -NR/R".
- Use according to claim 16, wherein R⁶ is C₁₋₄ alkyl, C₁₋₄ alkoxy, phenyl, naphthyl, dihydrobenzofuranyl, benzodioxinyl, 9H-fluoren-9-onyl, indolyl, thienyl, furanyl, oxazolyl, isoxazolyl, pyrazolyl, pyridyl, benzothienyl, benzofuranyl, cyclopentyl, cyclohexyl, piperazinyl, piperidinyl, morpholinyl, phenyl-(C₁₋₂ alkyl)-, phenyl-CH₂-CH(OH)-, phenyl-CH(OH)-CH₂-, phenyl-(C₁₋₂ alkyl)-O-, 1H-benzo[d]imidazol-2(3H)-onyl or -NR[']R".
- Use according to any one of the preceding claims wherein the benzodiazepine derivative of formula (I) is a benzodiazepine derivative of formula (Ia):

$$(R^3)_n \xrightarrow{R^2} O \qquad N-R^5$$

$$R^1 \qquad R^4 \qquad (Ia)$$

wherein:

- R¹ is phenyl or methyl;
- R³ is methyl or chlorine;
- 5 n is 0 or 1;
 - R⁴ is hydrogen or methyl;
 - R⁵ is phenyl-CH₂-, furanyl-CH₂-, thienyl-C(O)-C(O)- or -XR⁶;
 - $X \text{ is -CO- or -S(O)}_2$ -; and

- R⁶ is C₁₋₄ alkyl, C₁₋₄ alkoxy, phenyl, naphthyl, dihydrobenzofuranyl, benzodioxinyl, 9H-fluoren-9-onyl, indolyl, thienyl, furanyl, oxazolyl, isoxazolyl, pyrazolyl, pyridyl, benzothienyl, benzofuranyl, cyclopentyl, cyclohexyl, piperazinyl, piperidinyl, morpholinyl, phenyl-(C₁₋₂ alkyl)-, phenyl-CH₂-CH(OH)-, phenyl-CH(OH)-CH₂-, phenyl-(C₁₋₂ alkyl)-O-, 1*H*-benzo[*d*]imidazol-2(3*H*)-onyl or -NR[']R^{''} wherein each R' and R^{''} is the same or different and represents hydrogen, C₁₋₄ alkyl, phenyl, thienyl, cyclohexyl, cyclopentyl or phenyl-(CH₂)-,

the phenyl moiety in the group R^1 being unsubstituted or substituted by a single fluorine, chlorine, C_{1-2} alkyl, C_{1-2} alkoxy, C_{1-2} alkylthio, C_{1-2} haloalkyl or C_{1-2} haloalkoxy substituent;

the aryl moieties in the groups R⁵ and R⁶ being unsubstituted or substituted by 1,2 or 3 substituents selected from fluorine, chlorine, bromine, iodine, C₁₋₄ alkyl, C₂₋₄ acyl, hydroxy, C₁₋₄ alkoxy, C₁₋₄ alkylthio, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, amino, mono(C₁₋₄ alkyl)amino, di(C₁₋₄ alkyl)amino, nitro, -CO₂R', -S(O)₂R' and -S(O)₂NH₂, wherein R' represents C₁₋₂ alkyl;

the heteroaryl moieties in the groups R^5 and R^6 being unsubstituted or substituted by 1 or 2 substituents selected from fluorine, chlorine, bromine, C_{1-2} alkyl, C_{1-2} haloalkyl and di(C_{1-2} alkyl)amino; and

the heterocyclyl and carbocyclyl moieties in the R^6 group being unsubstituted or substituted by 1 or 2 substituents selected from fluorine, chlorine, bromine, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl and nitro.

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19. Use according to any one of the preceding claims, wherein the medicament is for use in treating a patient who is a child under two years of age.

- Use according to claim 19 wherein said child suffers from chronic lungdisease.
 - 21. Use according to any one of claims 1 to 18 wherein the medicament is for use in preventing RSV infection in an infant less than six years of age who was born after 32 weeks of gestation or less.
- 22. Use according to any one of the preceding claims, wherein the medicament is suitable for intranasal or intrabronchial administration.

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- Use according to any one of the preceding claims, wherein the medicament futher comprises an anti-inflammatory compound or an anti-influenza compound.
 - 24. Use according to claim 23 wherein the anti-inflammatory compound is budesonide or fluticasone.
 - 25. Use according to claim 23 wherein the anti-inflammatory compound is a leukotriene antagonist, phosphodiesterase 4 inhibitor or TNF alpha inhibitor.
- Use according to claim 23 wherein the anti-inflammatory compound is an
 interleukin 8 or interleukin 9 inhibitor.
 - 27. Use according to any one of claims 1 to 22 wherein the medicament is coadministered with an anti-inflammatory compound, as defined in any one of claims 24 to 26, or an anti-influenza compound.
 - A method of treating a patient suffering from or susceptible to an RSV infection, which method comprises administering to said patient an effective

amount of a benzodiazepine derivative of formula (I), as defined in any one of claims 1 to 19, or a pharmaceutically acceptable salt thereof.

- 29. A method according to claim 28, wherein said patient is a patient as defined in any one of claims 19 to 21.
 - 30. A method according to claim 28 or 329, wherein the benzodiazepine derivative or salt thereof is administered intranasally or intrabronchially.
- 10 31. An inhaler or nebuliser containing a medicament which comprises
 - (a) a benzodiazepine derivative of formula (I), as defined in any one of claims 1 to 18, or a pharmaceutically acceptable salt thereof, and
 - (b) a pharmaceutically acceptable carrier or diluent.
- 15 32. A product comprising a compound of formula (I), or pharmaceutically acceptable salt thereof, as defined in any one of claims 1 to 18 and an anti-inflammatory compound, as defined in any one of claims 24 to 26, or an anti-influenza compound.
- 20 33. Use of a product according to claim 32 in the manufacture of a medicament for use in the treatment of concomitant RSV and influenza infections.
- Use of a compound of formula (I), or pharmaceutically acceptable salt thereof, as defined in any one of claims 1 to 18 in the manufacture of a medicament for use in the treatment of human metapneumovirus, measles, parainfluenza viruses, mumps, yellow fever virus (B5 strain), Dengue 2 virus or West Nile virus.
- 35. A benzodiazepine derivative of formula (Ib), or a pharmaceutically acceptable salt thereof

$$(R^3)_n \xrightarrow{R^2} N - R^{5/}$$

$$R^1 \qquad (Ib)$$

wherein:

- R¹ represents C₁₋₆ alkyl, aryl or heteroaryl;
- R² represents hydrogen, C₁₋₆ alkyl;
- each R³ is the same or different and represents halogen, hydroxy, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, amino, mono(C₁₋₆ alkyl)amino, di(C₁₋₆ alkyl)amino, nitro, cyano, -CO₂R', -CONR'R", -NH-CO-R', -S(O)R', -S(O)₂R', -NH-S(O)₂R', -S(O)NR'R" or -S(O)₂NR'R", wherein each R' and R" is the same or different and represents hydrogen or C₁₋₆ alkyl;
 - n is from 0 to 3;
 - R⁴ represents hydrogen or C₁₋₆ alkyl;
- R^{5/} represents C₃₋₆ alkyl, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)-, heteroaryl-C(O)-C(O)-, heteroaryl-C(O)-C(O)-, carbocyclyl-C(O)-C(O)-, heterocyclyl-C(O)-C(O)- or -X', provided that when R^{5/} is heteroaryl it is not 2-quinaldyl or 6-chloro-pyrazinyl, when R^{5/} is heteroaryl-(C₁₋₆ alkyl)- it is not 2-indolylmethyl, 2-(3-indolyl)ethyl or 2-furanylmethyl, when R^{5/} is aryl it is not unsubstituted phenyl and when R^{5/} is aryl-(C₁₋₆ alkyl)- it is not unsubstituted phenyl-(C₁₋₂ alkyl)- or 4-chlorophenyl-(C₂₋₃ alkyl)-;
 - X' represents -CO-R⁶, -S(O)-R⁶ or -S(O)₂-R⁶;
- R⁶/ represents C₁ alkyl, hydroxy, C₁₋₆ alkoxy, C₁₋₆ alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)-, heterocyclyl-(C₁₋₆ alkyl)-, aryl-(C₁₋₆ alkyl)-O-, heteroaryl-(C₁₋₆ alkyl)-O-, carbocyclyl-(C₁₋₆ alkyl)-O-, heterocyclyl-(C₁₋₆ alkyl)-O- or -NR⁷/R⁷ wherein each R⁷ and R⁷ is the same or different and

represents hydrogen, C1-6 alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)- or heterocyclyl-(C₁₋₆ alkyl)-, provided that (a) when R⁶ is aryl it is not unsubstituted naphthyl, unsubstituted phenyl, mono-halophenyl, 4-methylphenyl, 4-methoxyphenyl, 4-hydroxyphenyl, 4-5. trifluoromethylphenyl, 4-nitrophenyl, 4-cyanophenyl, 4-n-propylphenyl, 4-tbutylphenyl, 4-n-pentylphenyl, 4-dimethylaminophenyl, 4-methylthiophenyl, 3-trifluoromethylthiophenyl, 3,4-dimethoxyphenyl, 3,4-dichlorophenyl, 3,5dichlorophenyl, 2,3,4,5,6-pentafluorophenyl, 4-chloro-2-aminophenyl or 4-1.1-dimethylethylphenyl, (b) when R⁶ is heteroaryl it is not 2-pyrrolyl, 2-10 pyrazinyl, 2-quinaldyl, 2-quinoxalinyl, 1-methylindonly, 2-methyl-indolyl, 2benzofuranyl, 2-benzothienyl, 3-thienyl, 3-indolyl, unsubstituted 2-indolyl, 5fluoroindol-2-yl, 5-chloroindol-2-yl, 5-bromoindol-2-yl, 5-hydroxyindol-2-yl or 5-methoxyindol-2-yl, (c) when R⁶¹ is aryl-(C₁₋₆ alkyl)- it is not 4thianaphthene-(CH₂)-, unsubstituted phenyl-(CH₂)-, 4-trifluoromethylphenyl-15 (CH₂)-, unsubstituted phenyl-(CH₂)₃-, monotrifluoromethylphenyl-(CH₂)₂-, 3methoxyphenyl-(CH₂)₂-, 4-chloro-2-aminophenyl-(CH₂)₂-, 2,4dichlorophenyl-(CH₂)₂-, monochlorophenyl-(CH₂)₂-, 2,4-trifluoromethyl phenyl-(CH₂)₂-, 4-cyanophenyl-(CH₂)₂- or 3-cyanophenyl-(CH₂)₂-, (d) when R^{6} is heteroaryl-(C₁₋₆ alkyl)- it is not indolyl-(CH₂)_x-, wherein x is 1, 2, 3, 20 unsubstituted furanyl-(CH₂)₂-, unsubstituted thienyl-(CH₂)₃- (e) when R^{6'} is carbocyclyl it is not cyclohexyl, (f) when R⁶ is carbocyclyl-(C₁₋₆ alkyl)- it is not unsubstituted cyclohexyl-(CH₂)₁₋₃-, (g) when R⁶ is heterocyclyl it is not N-pyrrolidinyl or 2-dihydrobenzofuranyl, (h) when R^{6/} is aryl-(C₁₋₆ alkyl)-Oit is not unsubstituted phenyl-(CH_2)-O-, and (i) when R' is hydrogen, R'' is not . 25 unsubstituted phenyl, 4-halophenyl, 3-halophenyl, methoxyphenyl, nitrophenyl, 2-chlorophenyl, 4-methylphenyl, dichlorophenyl, 3,5dimethylphenyl, 3-methylphenyl, 3-cyanophenyl, 3-aminophenyl, 3aminocarbonylphenyl, 3-benzoic acid, 3-benzoic acid ethyl ester, 6-amino-3pyridyl, 5-(2-chloro)pyridyl, 5-(2-methoxy)pyridyl, 5-indanyl, unsubstituted 30 cyclohexyl, 1,1-dimethylethyl, unsubstituted phenyl-CH2-, unsubstituted naphthyl or benzotriazol-3-yl and when R' is methyl, R'' is not

cyclopropylbenzene;

- R^{6ll} represents C_{1-6} alkyl, hydroxy, C_{1-6} alkoxy, C_{1-6} alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl- $(C_{1-6}$ alkyl)-, heteroaryl- $(C_{1-6}$ alkyl)-, carbocyclyl- $(C_{1-6}$ alkyl)-O-, theteroaryl- $(C_{1-6}$ alkyl)-O-, theteroaryl- $(C_{1-6}$ alkyl)-O-, theterocyclyl- $(C_{1-6}$ alkyl)-O- or -NR/R" wherein each R' and R" is the same or different and represents hydrogen, C_{1-6} alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl- $(C_{1-6}$ alkyl)-, heteroaryl- $(C_{1-6}$ alkyl)-, carbocyclyl- $(C_{1-6}$ alkyl)- or heterocyclyl- $(C_{1-6}$ alkyl)-,; and

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- R⁶/// represents C₁₋₆ alkyl, hydroxy, C₁₋₆ alkoxy, C₁₋₆ alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)-, heterocyclyl-(C₁₋₆ alkyl)-O-, heteroaryl-(C₁₋₆ alkyl)-O-, carbocyclyl-(C₁₋₆ alkyl)-O-, heterocyclyl-(C₁₋₆ alkyl)-O- or -NR'R'' wherein each R' and R'' is the same or different and represents hydrogen, C₁₋₆ alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl-(C₁₋₆ alkyl)-, heteroaryl-(C₁₋₆ alkyl)-, carbocyclyl-(C₁₋₆ alkyl)- or heterocyclyl-(C₁₋₆ alkyl)-, provided that when R⁶/// is aryl it is not 4-methylphenyl, provided that the compound of formula (Ib) is not N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-acetamide.

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- 36. A benzodiazepine derivative according to claim 35 wherein:
 - $R^{5/}$ is C_{3-6} alkyl, C_{3-6} cycloalkyl, heterocyclyl, C_{3-6} cycloalkyl-(C_{1-6} alkyl), aryl-C(O)-C(O)-, heteroaryl-C(O)-C(O)-, carbocyclyl-C(O)-C(O)- or -X';

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- X' is -CO- R^{6l} , -S(O)- R^{6ll} or -S(O)₂- R^{6lll} ;
- $R^{6'}$ is C_1 alkyl, hydroxy, C_{1-6} alkoxy, C_{1-6} alkylthio, heterocyclyl-(C_{1-6} alkyl)-, heteroaryl-(C_{1-6} alkyl)-O-, carbocyclyl-(C_{1-6} alkyl)-O- or -NR'R'' wherein each R' and R'' is the same or different and represents hydrogen, C_{1-6} alkyl, C_{3-6} cycloalkyl, heterocyclyl, carbocyclyl-(C_{1-6} alkyl)- or heterocyclyl-(C_{1-6} alkyl)-:

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- $R^{6/\prime}$ represents C_{1-6} alkyl, hydroxy, C_{1-6} alkoxy, C_{1-6} alkylthio, aryl, heteroaryl, carbocyclyl, heterocyclyl, aryl-(C_{1-6} alkyl)-, heteroaryl-(C_{1-6}

alkyl)-, carbocyclyl-(C_{1-6} alkyl)-, heterocyclyl-(C_{1-6} alkyl)-, aryl-(C_{1-6} alkyl)-O-, heterocyclyl-(C_{1-6} alkyl)-O-, heterocyclyl-(C_{1-6} alkyl)-O- or -NR'R" wherein each R' and R" is the same or different and represents hydrogen, C_{1-3} alkyl, heterocyclyl, heterocyclyl, heteroaryl-(C_{1-6} alkyl)-, carbocyclyl-(C_{1-6} alkyl)- or heterocyclyl-(C_{1-6} alkyl)-; and - R^{6} is C_{1-6} alkyl, hydroxy, C_{1-6} alkoxy, C_{1-6} alkylthio, C_{3-6} cycloalkyl, heterocyclyl-(C_{1-6} alkyl)-, heterocyclyl-(C_{1-6} alkyl)-, aryl-(C_{1-6} alkyl)-O-, heterocyclyl-(C_{1-6} alkyl)-O-, carbocyclyl-(C_{1-6} alkyl)-O-, heterocyclyl-(C_{1-6} alkyl)-O- or -NR'R" wherein each R' and R" is the same or different and represents hydrogen, C_{1-6} alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, aryl-(C_{1-6} alkyl)-, heteroaryl-(C_{1-6} alkyl)-, carbocyclyl-(C_{1-6} alkyl)-

- 37. A benzodiazepine derivative according to claim 35 or claim 36 wherein R² is hydrogen.
 - 38. A benzodiazepine derivative of formula (Ic), or a pharmaceutically acceptable salt thereof,

$$(R^3)_n \xrightarrow{H} O$$

$$N \xrightarrow{N} R^5$$

$$R^1$$
(Ic)

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wherein:

- R¹ is phenyl or methyl;

or heterocyclyl-(C₁₋₆ alkyl)-.

- R³ is methyl or chlorine;
- 25 n is 0 or 1;
 - R⁴ is hydrogen or methyl;
 - R⁵' is phenyl-CH₂- thienyl-C(O)-C(O)- or -X';
 - X' is -CO-R⁶, -CONR'R", -S(O)₂R⁶" or -S(O)₂-NR₂R₂; and

- R⁶¹ is C₁ alkyl, C₁₋₄ alkoxy, benzodioxinyl, 9H-fluoren-9-onyl, furanyl, oxazolyl, isoxazolyl, pyrazolyl, pyridyl, cyclopentyl, piperazinyl, piperidinyl, morpholinyl, phenyl-CH₂-CH(OH)-, phenyl-CH(OH)-CH₂-, phenyl-(C₂ alkyl)-O- or 1*H*-benzo[*d*]imidazol-2(3*H*)-only;

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- R⁶··· is C₁₋₄ alkyl, C₁₋₄ alkoxy, phenyl, naphthyl, dihydrobenzofuranyl, benzodioxinyl, 9H-fluoren-9-onyl, indolyl, thienyl, furanyl, oxazolyl, isoxazolyl, pyridyl, benzothienyl, benzofuranyl, cyclopentyl, cyclohexyl, piperazinyl, piperidinyl, morpholinyl, phenyl-(C₁₋₂ alkyl)-, phenyl-CH₂-CH(OH)-, phenyl-CH(OH)-CH₂-, phenyl-(C₁₋₂ alkyl)-O- or 1*H*-benzo[*d*]imidazol-2(3*H*)-only;

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- each R' and R'' is the same or different and represents hydrogen, C_{1-4} alkyl, phenyl, thienyl, cyclohexyl, cyclopentyl or phenyl-(CH₂)-; and
- each R₁ and R₂ is the same or different and represents hydrogen, C₁₋₄ alkyl, phenyl, thienyl, cyclohexyl, cyclopentyl or phenyl-(CH₂)-, wherein:

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the phenyl moiety in the group R^1 being unsubstituted or substituted by a single fluorine, chlorine, C_{1-2} alkyl, C_{1-2} alkoxy, C_{1-2} alkylthio, C_{1-2} haloalkyl or C_{1-2} haloalkoxy substituent;

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the aryl moieties in the groups R^{5} , R^{6} and R^{6} being unsubstituted or substituted by 1,2 or 3 substituents selected from fluorine, chlorine, bromine, iodine, C_{1-4} alkyl, C_{2-4} acyl, hydroxy, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-6} haloalkyl, C_{1-4} haloalkoxy, amino, mono(C_{1-4} alkyl)amino, di(C_{1-4} alkyl)amino, nitro, $-CO_2R'$, $-S(O)_2R'$ and $-S(O)_2NH_2$, wherein R' represents C_{1-2} alkyl;

25

the heteroaryl moieties in the groups R^{5_1} , R^{6_1} and $R^{6_{111}}$ being unsubstituted or substituted by 1 or 2 substituents selected from fluorine, chlorine, bromine, C_{1-2} alkyl, C_{1-2} haloalkyl and di(C_{1-2} alkyl)amino;

the heterocyclyl and carbocyclyl moieties in the R^{6m} group being unsubstituted or substituted by 1 or 2 substituents selected from fluorine, chlorine, bromine, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} haloalkyl and nitro;

30

the aryl, heteroaryl and carbocyclyl moieties in the R' and R'' being unsubstituted or substituted by one or two substituents selected from fluorine, chlorine, bromine, C_{1-2} alkyl, C_{1-2} alkoxy, C_{1-2} alkylthio, C_{1-2} haloalkyl and

nitro; and

5

15

20

the aryl, heteroaryl and carbocyclyl moieties in the R_/ and R_{//} being unsubstituted or substituted by one or two substituents selected from fluorine, chlorine, bromine, C₁₋₂ alkyl, C₁₋₂ alkoxy, C₁₋₂ alkylthio, C₁₋₂ haloalkyl and nitro, provided that the compound of formula (Ic) is not N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-acetamide.

39. A benzodiazepine derivative of formula (Id), or pharmaceutically acceptable salts thereof

$$\begin{array}{c|c}
 & H & O & O \\
 & N - C - R^{6*} \\
 & H & O
\end{array}$$
(Id)

wherein R^{6*} is an aryl group which is unsubstituted or substituted by 1, 2 or 3 substituents selected from halogen, C₁₋₆ alkyl, C₂₋₇ acyl, hydroxy, C₁₋₆ alkoxy, C₁₋₆ alkylthio, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, nitro, cyano, carbamoyl, mono(C₁₋₆ alkyl)carbamoyl, di(C₁₋₆ alkyl)carbamoyl, amino, mono(C₁₋₆ alkyl)amino, di(C₁₋₆ alkyl)amino, -CO₂R', -CONR'R", -S(O)R', -S(O)₂R', -S(O)NR'R",-S(O)₂NR'R" -NH-S(O)₂R' or -NH-CO-R', wherein each R' and R" is the same or different and represents hydrogen or C₁₋₆ alkyl, provided that R^{6*} is not a 4-chlorophenyl group.

40. A benzodiazepine derivative of formula (Ie) or a pharmaceutically acceptable salts thereof

wherein R'* is an aryl group which is unsubstituted or substituted by 1 or 2 substituents selected from fluorine, chlorine, bromine, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-4} haloalkyl, C_{1-4} haloalkoxy and nitro.

41. 1,1-Diethyl-3-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-urea

N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-

10 propionamide

5

N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-butyramide

N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-

isobutyramide

2,2-Dimethyl-N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-

15 yl)-propionamide

Cyclopentanecarboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-

benzo[e][1,4]diazepin-3-yl)-amide

Cyclohexanecarboxylic acid 2-oxo-5-phenyl-2,3-dihydro-1H-

benzo[e][1,4]diazepin-3-yl)-amide.

20 Piperidine-1-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-

benzo[e][1,4]diazepin-3-yl)-amide

Morpholine-4-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-

benzo[e][1,4]diazepin-3-yl)-amide

4-Methyl-piperazine-1-carboxylic acid -(2-oxo-5-phenyl-2,3-dihydro-1H-

25 benzo[e][1,4]diazepin-3-yl)-amide

Benzo[b]thiophene-3-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-

benzo[e][1,4]diazepin-3-yl)-amide

Isoxazole-5-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-amide Benzo[b]thiophene-2-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-amide N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-5 methanesulfonamide Propane-1-sulfonic acid-(2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-amide Butane-1-sulfonic acid-(2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-amide 10 N-(7-Chloro-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)isobutyramide N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)isonicotinamide N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-15 nicotinamide ' N-(7-Chloro-2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)acetamide (S)-2-Methoxy-4-nitro-N-(2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-benzamide 20 (S)-1-(2-Fluoro-phenyl)-3-(2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-urea 2-Chloro-4-methanesulfonyl-N-(2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-benzamide 25 1-(4-Nitro-phenyl)-3-(2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-urea 4-Methanesulfonyl-2-methoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-benzamide 2-Methoxy-4-methylsulfanyl-N-(2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-benzamide 30 4-Methanesulfonyl-N-(2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-benzamide

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N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-
            yl)terephthalamic acid methyl ester
            5-Acetyl-2-ethoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-
            benzo[e][1,4]diazepin-3-yl)-benzamide
            3-Methoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-
5
            terephthalamic acid methyl ester
            2-Methylsulfanyl-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-
            3-yl)-benzamide
            4-Amino-5-chloro-2-methoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-
            benzo[e][1,4]diazepin-3-yl)-benzamide
10
            4-Methanesulfonyl-2-methoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-
            benzo[e][1,4]diazepin-3-yl)-benzamide
             (S)-2,4,5-Trifluoro-N-(2-oxo-5-phenyl-2,3-dihydro-1H-
             benzo[e][1,4]diazepin-3-yl)-benzamide
             (S)-5-Acetyl-2-ethoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-
15
             benzo[e][1,4]diazepin-3-yl)-benzamide
             2-Methoxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-
             5-sylfamoyl-benzamide
             1-tert-Butyl-3-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-
20
            urea
             1-Cycloheyl-3-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-
             urea
             1-Ethyl-3-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-urea
             1-Butyl-3-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-urea
             4,5-Dimethyl-furan-2-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-
25
             benzo[e][1,4]diazepin-3-yl)amide
             Piperidine-1-carboxylic acid (7-chloro-2-oxo-5-phenyl-2,3-dihydro-1H-
             benzo[e][1,4]diazepin-3-yl)-amide
             N-[5-(3-Chloro-phenyl)-2-oxo-5-phenyl-2,3-dihydro-1H-
             benzo[e][1,4]diazepin-3-yl)acetamide
. 30
             N-[5-(3-Chloro-phenyl)-2-oxo-5-phenyl-2,3-dihydro-1H-
             benzo[e][1,4]diazepin-3-yl]-isobutyramide
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Cyclohexanecarboxylic acid [5-(3chloro-phenyl)-2-oxo-5-phenyl-2,3dihydro-1H-benzo[e][1,4]diazepin-3-yl]-amide Piperidine-1-carboxylic acid [5-(3-chloro-phenyl)-2-oxo-5-phenyl-2,3dihydro-1H-benzo[e][1,4]diazepin-3-yl]-amide 5 N-[5-(3-Chloro-phenyl)-2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl]isonicotinamide N-[5-(3-Methoxy-phenyl)-2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl]-isobutyramide Cyclohexanecarboxylic acid [5-(3-methoxy-phenyl)-2-oxo-5-phenyl-2,3-10 dihydro-1H-benzo[e][1,4]diazepin-3-yl]-amide Piperidine-1-carboxylic acid [5-(3-methoxy-phenyl)-2-oxo-5-phenyl-2,3dihydro-1H-benzo[e][1,4]diazepin-3-yl]-amide Piperidine-4-carboxylic acid [5-(3-methoxy-phenyl)-2-oxo-5-phenyl-2,3dihydro-1H-benzo[e][1,4]diazepin-3-yl]-amide 15 Cyclohexanecarboxylic acid (8-chloro-2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-amide 6-Morpholin-4-yl-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-nicotinamide Pyridine-2-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-20 benzo[e][1,4]diazepin-3-yl)-amide 6-Fluoro-4H-benzo[1,3]dioxine-8-carboxylic acid (2-oxo-5-phenyl-2,3dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide 1H-Pyrazole-4-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-amide 6-Dimethylamino-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-25 3-yl)-nicotinamide 2-Ethoxy-naphthalene-1-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-amide 9-Oxo-9H-fluorene-1-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-30 benzo[e][1,4]diazepin-3-yl)-amide 2-Oxo-2,3-dihydro-benzoimidazole-1-carboxylic acid (2-oxo-5-phenyl-2,3dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide

(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)carbamic acid tert-butyl ester (S)-6-Fluoro-4H-benzo[1,3]dioxine-8-carboxylic acid (2-oxo-5-phenyl-2,3dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide 5 (S)-4,5-Dibromo-furan-2-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1Hbenzo[e][1,4]diazepin-3-yl)-amide (S)-3-Methoxy-naphthalene-2-carboxylic acid (2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-amide (2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-carbamic acid 10 methyl ester (2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-carbamic acid ethyl ester (2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-carbamic acid isobutyl ester 2-Oxo-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-2-15 thiophene-2-yl-acetamide,

42. A benzodiazepine derivative according to any one of claims 35 to 41 for use in a method of treating the human or animal body.

or a pharmaceutically acceptable salt thereof.

- 43. A pharmaceutical composition comprising a benzodiazepine derivative according to any one of claims 35 to 41, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable diluant or carrier.
- 44. A composition according to claim 43 comprising an optically active isomer of a benzodiazepine derivative according to any one of claims 35 to 41.
- 45. A composition according to claim 43 or 44 which is in the form of a tablet, 30 troche, lozenge, aqueous or oily suspension, dispersible powders or granules.